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(54) Title: A NOVEL PROCESS FOR THE PREPARATION OF LINEZOLID AND RELATED COMPOUNDS

(57) Abstract: The present invention provides a novel process for preparation of 5-aminomethyl substituted oxazolidinones, key intermediates for oxazolidinone antibacterials including linezolid. Thus linezolid is prepared by a) reacting 3-fluoro-4-morpholinyl aniline with R-epichlorohydrin; b) subjecting N-[3-Chloro-2-(R)-hydroxypropyl]-3-fluoro-4-morpholinyl aniline produced above to carbonylation; c) reacting (5R)-5-(chloromethyl)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxazolidinone produced above with potassium phthalimide; d) reacting (S)-N-[[3-[3-Fluoro-4-[4-morpholinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]phthalimide produced above with hydrazine hydrate; and e) reacting S-N-[[3-[3-Fluoro-4-[4-morpholinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]amine produced above with acetic anhydride to produce linezolid.

WO 2005/099353 A3

INTERNATIONAL SEARCH REPORT

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A. CLASSIFICATION OF SUBJECT MATTER IPC ⁸ : C07D 413/10 (2006.01); C07D 263/20 (2006.01) According to International Patent Classification (IPC) or to both national classification and IPC		
B. FIELDS SEARCHED Minimum documentation searched (classification system followed by classification symbols) IPC ⁸ : C07D Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched ---- Electronic data base consulted during the international search (name of data base and, where practicable, search terms used) WPI, EPODOC, PAJ, REGISTRY, CAPLUS, CASREACT		
C. DOCUMENTS CONSIDERED TO BE RELEVANT		
Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
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<input checked="" type="checkbox"/> Further documents are listed in the continuation of Box C. <input checked="" type="checkbox"/> See patent family annex.		
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C (Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT		
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